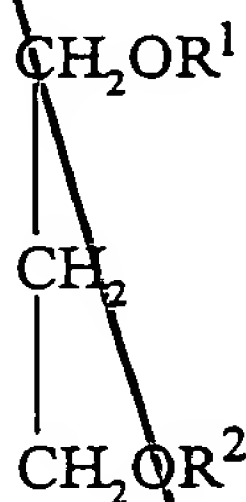


No claim is made herein to compounds comprising niacin, which are the subject of a separate application filed on even date herewith.

[WHAT IS CLAIMED IS:]
CLAIMS

Without limitation of the generality of the foregoing but subject to the immediately preceding disclaimer we claim as aspects of the invention:-

1. Compounds of the following 1,3-propane diol linked structure, when for use in therapy:-



where R^1 comprises an acyl or fatty alcohol group derived from a C_{12-30} preferably C_{16-30} fatty acid desirably with two or more cis or trans double bonds, and R^2 is hydrogen, or comprises an acyl or fatty alcohol group as R^1 the same or different, or any other nutrient, drug or other bioactive residue.

2. A compound according to claim 1 wherein a phosphate, succinate or other difunctional acid group is interposed between the R^1 and/or R^2 group and the 1,3-propane diol residue, particularly when R^2 is a nutrient, drug or other bioactive with a hydroxy or amino function.

3. A compound according to claim 1 ~~or 2~~, wherein the fatty acid is an n-6 or n-3 series essential fatty acid or oleic acid or columbinic acid or parinaric acid or conjugated linoleic acid.

4. A compound according to claim 3 wherein the fatty acid is gamma-linolenic acid, dihomogamma-linolenic acid, arachidonic acid, adrenic acid, stearidonic acid, eicosapentaenoic acid, docosapentaenoic acid n-3, docosahexaenoic acid or conjugated linoleic acid.

5. A compound according to claim 1, 2, 3 or 4 where R^2 is a drug or other active required to cross lipid membranes in the body to exert its action whether in entry to or movement within a cell in which it is to act, or in passing the skin, blood-brain or other barrier.

6. A compound according to claim 1, 2, 3 or 4, wherein irrespective of any crossing of lipid membranes R^2 is a drug, vitamin, amino acid, anti-oxidant or other active which is required to have an action additive to, complementary to, or synergistic with R^1 .

7. A method of improving the transport of a drug or other active across lipid membranes in the body, or of securing an action as set out in claim 5, characterised by administration of the active in the form of a compound ^{of claim 1} ~~as in any preceding claim~~.

8. A method of manufacture of a medicament for therapy involving transport of a drug or other active across lipid membranes in the body, or involving securing an action as set out in claim 5, characterised by the use in, or as, the medicament of a compound as in any preceding claim 1 to 6.

9. A compound or any group of compounds specifically as set out herein, being within claim 1, 2, 3, or 4, for use in therapy generally or specifically.

10. A compound or any group of compounds specifically as set out herein, being within claim 1, 2, 3, or 4, per se, other than compounds in which both R^1 and R^2 are saturated fatty acid residues and compounds in which R^1 is the same as R^2 and derived from oleic, linoleic or alpha-linolenic acids.

11. For use in therapy generally or specifically, any compound or group of compounds as set out herein, being novel in such use.

12. Per se, any compound or group of compounds as set out herein other than compounds in which both R^1 and R^2 are saturated fatty acid residues and compounds in which R^1 is the same as R^2 and derived from oleic, linoleic or alpha-linolenic acids, being novel as such.

13. Pharmaceutical use of a compound as set out in any one of claims 1 to ⁴~~6~~.

14. Use in the preparation of formulations for care of the skin or treatment of skin disorders of a compound as set out in any one of claims 1 to ~~6~~.

15. Use in the preparation of a food, food additive or food supplement of a compound as set out in any one of claims 1 to ⁴~~6~~.

16. A method of treating a disease by using a compound as set out in any one of claims 1 to ⁴~~6~~.

004567-01333

a

a

a

a

a

18.

- (a) complications of diabetes, particularly neuropathy and retinopathy; and improvement of responses to insulin in diabetes and pre-diabetes;
- (b) cancers;
- (c) osteoarthritis;
- (d) rheumatoid arthritis;
- (e) other inflammatory and auto-immune diseases including Sjogren's syndrome, systemic lupus, ulcerative colitis, Crohn's disease and uveitis;
- (f) respiratory diseases including asthma;
- (g) neurological disorders including multiple sclerosis, Parkinson's disease and Huntington's chorea;
- (h) renal and urinary tract disorders;
- (i) cardiovascular disorders;
- (j) degenerative diseases of the eye including retinitis pigmentosa and senile macular degeneration;
- (k) psychiatric disorders including schizophrenia, Alzheimer's disease, attention deficit disorder, alcoholism and depression;
- (l) prostatic hypertrophy and prostatitis;
- (m) impotence and male infertility;
- (n) mastalgia;
- (o) male pattern baldness;
- (p) osteoporosis;

- (q) dermatological disorders, including atopic eczema, hand eczema, psoriasis, urticaria and allergic disorders;
- (r) dyslexia and other learning disabilities;
- (s) cancer cachexia.

The compounds

19. [^] 1,3-propane diol as derivatives containing two fatty acids in which one fatty acid is AA and the other is AA, GLA, DHA, DGLA or EPA for treatment of the disorders set out in claim 18 and especially (a), (g), (i), (j), (k), (q) and (r).

The compounds

20. [^] 1,3-propane diol as derivatives containing two fatty acids in which one fatty acid is EPA and the other is EPA or DHA for the treatment of any of the disorders set out in claim 18 but especially (b), (c), (d), (e), (f), (g), (h), (i), (j), (k), (p), (r) and (s).

The compounds

21. [^] 1,3-propane diol as set out in claims 18 to 20 in which the diol is used as a component of a food, particularly of a functional food or nutraceutical for the promotion of health, as a nutritional supplement or as a food additive.

The compounds

22. [^] 1,3-propane diol as set out in claims 18 to 20 in which the diol is used for enteral or parenteral administration in products used in clinical nutrition.

The compounds

23. [^] 1,3-propane diol as set out in claims 18 to 20 in which the diol is used as a component of a cosmetic or other preparation used in the care of the skin or the hair.

24. Per se, 1,3-propane diol as set out in claims 18 to 20.

The compounds

25. [^] 1,3-propane diol as derivatives in which one position is occupied by a fatty acid drawn from GLA, DGLA, AA, SA, cLA, EPA or DHA and the other position is

70

from the following list, whose chemical structure is such
propane diol by one of the linkages described herein:
treatment of any disease but particularly for psychiatric,
neural, pain and other disorders and especially depression,
treatment of any disease, but especially depression,
chronic fatigue syndrome;
treatment of any disease but particularly diseases in which the
enzyme is defective;
derivatives for the treatment of any disease but especially
cardiac failure, chronic fatigue syndrome, Alzheimer's
disease and neuropathies;
or related substance for the treatment of any disease or
derivative thereof for the treatment of any disease but
related substances for the treatment of any disease but
myopathy, cardiac failure, chronic fatigue and
and other dementias;
indomethacin, ibuprofen, or any other non-steroidal
for the treatment of any disease but especially of
of pain, of Alzheimer's disease and other dementias
which platelet aggregation should be inhibited;
treatment of any appropriate infectious disease but
clindamycin, minocycline, chlortetracycline and
treatment of acne;
anti-protozoal drug for the treatment of any disease, but
mepacrine, quinacrine and mefloquine for the

- (a) tryptophan for the treatment of any disease but particularly for psychiatric, neurological, behavioural, pain and other disorders and especially depression, sleep and migraine;
- (b) phenylalanine for the treatment of any disease, but especially depression, multiple sclerosis and chronic fatigue syndrome;
- (c) arginine for the treatment of any disease but particularly diseases in which the production of nitric oxide is defective;
- (d) carnitine or carnitine derivatives for the treatment of any disease but especially muscle weakness, cardiac failure, chronic fatigue syndrome, Alzheimer's disease, and peripheral neuropathies;
- (e) any other amino acid or related substance for the treatment of any disease or aminolevulinic acid or derivative thereof for the treatment of any disease but especially cancers;
- (f) adenylosuccinate or related substances for the treatment of any disease but especially muscular dystrophy, cardiac failure, chronic fatigue and Alzheimer's disease and other dementias;
- (g) aspirin, salicylic acid, indomethacin, ibuprofen, or any other non-steroidal anti-inflammatory drug for the treatment of any disease but especially of inflammatory disorders of pain, of Alzheimer's disease and other dementias and of any disease in which platelet aggregation should be inhibited;
- (h) any antibiotic for the treatment of any appropriate infectious disease but especially tetracycline, clindamycin, minocycline, chlortetracycline and erythromycin for the treatment of acne;
- (i) any anti malarial or anti-protozoal drug for the treatment of any disease, but especially chloroquine, mepacrine, quinacrine and mefloquine for the

71

ria, protozoal disorders, inflammatory disorders and

g for the treatment of any disease but especially

antifungal imidazoles and nitroimidazoles and amphotericin B for the treatment of fungal infections of various types;

corticosteroid for the treatment of any disease but especially prednisolone and betamethasone for the treatment of skin disorders and budesonide for the treatment of asthma.

estrogen for the treatment of any disease but especially oestrone for the treatment of ovarian deficiency and osteoporosis and testosterone for the treatment of testicular deficiency;

and for the treatment of any disease, but especially hydrocortisone for the treatment of disorders associated with ageing and tretinoin for the treatment of any disease but especially tretinoin and for the treatment of dermatological disorders and for use in skin cancer;

agent for the treatment of cancer;

agent for the treatment of schizophrenia and other psychotic disorders;

agent for the treatment of any disease but especially for the treatment of depression;

agent for the treatment of any disease, but especially for the treatment of anxiety and panic attacks;

immunosuppressive agent for the treatment of any disease but especially cyclosporin and tacrolimus for the control of immunity after organ transplantation and for the treatment of autoimmune and inflammatory diseases such as psoriasis, eczema, asthma, rheumatoid arthritis and Crohn's disease;

- (j) any antifungal drug for the treatment of any disease but especially metronidazole and antifungal imidazoles and nitroimidazoles and amphotericin for the treatment of fungal infections of various types;
- (k) any anti-inflammatory steroid for the treatment of any disease but especially hydrocortisone and betamethasone for the treatment of skin disorders and beclomethasone and budesonide for the treatment of asthma.
- (l) any gonadal steroid for the treatment of any disease but especially oestrogens and progestogens for the treatment of ovarian deficiency and osteoporosis and androgens for the treatment of testicular deficiency;
- (m) any adrenal steroid for the treatment of any disease, but especially dehydroepiandrosterone for the treatment of disorders associated with ageing;
- (n) any retinoid for the treatment of any disease but especially tretinoin and isotretinoin for the treatment of dermatological disorders and for use in skin care;
- (o) any anticancer agent for the treatment of cancer;
- (p) any antipsychotic agent for the treatment of schizophrenia and other psychoses;
- (q) any antidepressive agent for the treatment of any disease but especially for the treatment of depression;
- (r) any anti-anxiety agent for the treatment of any disease, but especially for the treatment of anxiety and panic attacks;
- (s) any immunosuppressive agent for the treatment of any disease but especially cyclosporine and tacrolimus for the control of immunity after organ transplantation and for the treatment of autoimmune and inflammatory disorders including psoriasis, eczema, asthma, rheumatoid arthritis and inflammatory bowel disease;

- (t) any proton pump inhibitor or H2 antagonist for the treatment of any disease but especially diseases associated with excess gastric acid production or reduced defences against gastric acidity;
- (u) any diuretic for any disease, but especially for diseases associated with fluid retention and hypertension;
- (v) any calcium antagonist used for any disease but especially for cardiovascular diseases;
- (w) any angiotensin converting enzyme inhibitor or angiotensin antagonist used for any disease but especially for cardiovascular diseases;
- (x) any beta-blocker used for any disease but especially for cardiovascular disorders;
- (y) any antiepileptic drug used for any disease, but especially phenytoin, carbamazepine, valproate, ethosuximide, vigabatrin or lamotrigine for the treatment of epilepsy;
- (z) any hypolipidaemic agent for the treatment of any disease but especially fibrates and statins used for cholesterol lowering and cholesterol modification;
- (aa) any oral hypoglycaemic or insulin-sensitising agents used in the management of diabetes;
- (bb) any bisphosphonates used in the management of osteoporosis, Paget's disease or cancer;
- (cc) any contrast agents used in radiology including diatrizoate compounds, iodipamide, ioglycamates, iopanoates, iophendylate, iothalamate, ioxaglate, metrizamide and related compounds;
- (dd) any peptide or protein for use in the treatment of diseases for which the peptide or protein itself is used, including insulin, calcitonin, erythropoietin and other peptides;
- (ee) any vitamin used in the treatment of any disease, or used in foods, nutritional supplements or food additives as a way of providing the vitamin effectively;

0894567 "01234567890

- (ff) any antioxidant used in the management of any disease, but especially for those diseases in which antioxidants may be especially beneficial including cardiovascular diseases, cancer and inflammatory disorders and any antioxidant used as a food or other preservative or as a component of a food, food additive or nutritional supplement,
- (gg) any porphyrin chlorin or bacteriochlorin-based drug especially tetrakis (hydroxy phenyl) derivatives thereof used in photodynamic therapy of cancers.

26. *Per se*, 1,3-propane diol as set out in claim 25.

add B17

add CA

add 1, 2

0494567-012399